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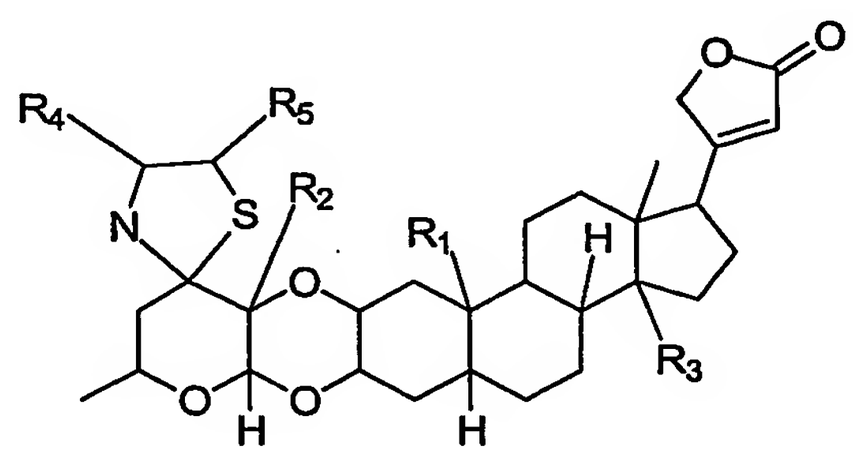
(54) Title: 2" OXO-VORUSCHARIN AND DERIVATIVES THEREOF

(57) Abstract: The present invention relates to the novel compound 2'' oxo-voruscharin and derivatives. In addition, the present invention relates to pharmaceutical compositions comprising the novel 2'' oxo-voruscharin or derivatives. The present invention further relates to the 2'' oxo-voruscharin and derivatives for use as a medicament and for use in the preparation of a medicament for treating cancer. The present invention also relates to a method of treating cancer.

AMENDED CLAIMS
[received by the International Bureau on 4 May 2004 (04.05.04);
original claims 1-29 replaced by amended claims 1-31 (14 pages)]

1. A compound of the formula I or a pharmaceutically acceptable salt thereof,

formula I



wherein R^1 is selected from the group comprising hydrogen, alkyl, alkenyl, alkynyl, alkyloxy, alkyloxyalkyl, alkylthioalkyl, alkyloxycarbonyl, alkylthiocarbonyl, alkanoyl, cycloalkylalkyl, cycloalkylcarbonyl, cycloalkylalkanoyl, cycloalkylthiocarbonyl, cycloalkylalkoxycarbonyl, cycloalkylalkoxythiocarbonyl, cycloalkylthioalkyl, alkylcarbonyloxyalkyl, arylcarbonyloxyalkyl, cycloalkylcarbonyloxyalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylcarbonyl, aryloxycarbonyl, arylthiocarbonyl, aralkoxycarbonyl, arylalkylthiocarbonyl, aryloxyalkyl, arylthioalkyl, haloalkyl, hydroxyalkyl, aralkanoyl, aroyl, aryloxycarbonylalkyl, aryloxyalkanoyl, carboxyl, formyl, alkenylcarbonyl, alkynylcarbonyl, Het^1, Het^1alkyl, Het^1oxyalkyl, Het^1aryl, Het^1aralkyl, Het^1cycloalkyl, Het^1carbonyl, Het^1alkoxycarbonyl, Het^1alkylthiocarbonyl, Het^1oxycarbonyl, Het^1thiocarbonyl, Het^1alkanoyl, Het^1aralkanoyl, Het^1aryloxyalkyl, Het^1alkyloxyalkyl, Het^1arylthioalkyl, Het^1aryloxycarbonyl, Het^1aralkoxycarbonyl, Het^1aroyl, Het^1oxyalkylcarbonyl, Het^1alkyloxyalkylcarbonyl, Het^1aryloxyalkylcarbonyl, Het^1carbonyloxyalkyl, Het^1alkylcarbonyloxyalkyl, Het^1aralkylcarbonyloxyalkyl, Het^2alkyl; Het^2oxyalkyl, Het^2alkyloxyalkyl, Het^2aralkyl, Het^2carbonyl, Het^2oxycarbonyl, Het^2thiocarbonyl, Het^2alkanoyl, Het^2alkylthiocarbonyl, Het^2alkoxycarbonyl, Het^2aralkanoyl, Het^2aralkoxycarbonyl, Het^2aryloxycarbonyl, Het^2aroyl, Het^2aryloxyalkyl, Het^2arylthioalkyl, Het^2oxyalkylcarbonyl, Het^2alkyloxyalkylcarbonyl, Het^2aryloxyalkylcarbonyl, Het^2carbonyloxyalkyl, Het^2alkylcarbonyloxyalkyl, Het^2aralkylcarbonyloxyalkyl, cyano, aminocarbonyl, aminoalkanoyl, aminoalkyl, $CR^6=NR^7$ or $CR^6=N(OR^7)$, with R^6 and R^7 being independently selected from the group comprising hydrogen, hydroxyl, alkyl, aryl, Het^1, Het^1alkyl, Het^1aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;

wherein R^2 and R^3 are independently selected from the group comprising hydroxyl, alkyloxy, alkylsilyloxy, arylsilyloxy, alkyloxyalkyloxy, cycloalkyloxy cycloalkylalkyloxy, aralkyloxy, aryloxyalkyloxy, silyloxy, alkylcarbonyloxy, arylcarbonyloxy, cycloalkylcarbonyloxy, haloalkyloxy, hydroxyalkyloxy, aralkanoyloxy, aroyloxy, aryloxycarbonylalkyloxy, formyloxy, Het^1alkyloxy, Het^1oxy, Het^1oxyalkyloxy, Het^1aryloxy, Het^1aralkyloxy, Het^1cycloalkyloxy, Het^1carbonyloxy, Het^1oxycarbonyloxy, Het^1alkanoyloxy, Het^1aralkanoyloxy, Het^1aryloxyalkyloxy, Het^1aroyl, Het^2oxy, Het^2alkyloxy; Het^2oxyalkyloxy, Het^2aralkyloxy, Het^2cycloalkyloxy, Het^2alkanoyloxy, Het^2aralkanoyloxy, Het^2carbonyloxyl, Het^2aryloxy, Het^2aryloxyalkyloxy,

wherein R^1 R^2 and R^3 are optionally substituted by one or more substituents independently selected from the group comprising alkyl, aralkyl, aryl, Het^1, Het^2, cycloalkyl, alkyloxycarbonyl, carboxyl, aminocarbonyl, mono- or di(alkyl)aminocarbonyl, aminosulfonyl, alkyl$S(=O)_t$, hydroxy, cyano, halogen or amino optionally mono- or disubstituted wherein the substituents are independently selected from the group comprising alkyl, aryl, aralkyl, aryloxy, arylamino, arylthio, aryloxyalkyl, arylaminoalkyl, aralkoxy, alkylthio, alkoxy, aryloxyalkoxy, arylaminoalkoxy, aralkylamino, aryloxyalkylamino, arylaminoalkylamino, arylthioalkoxy, arylthioalkylamino, aralkylthio, aryloxyalkylthio, arylaminoalkylthio, arylthioalkylthio, alkylamino, cycloalkyl, cycloalkylalkyl, Het^1, Het^2, Het^1alkyl, Het^2alkyl, Het^1amino, Het^2amino, Het^1alkylamino, Het^2alkylamino, Het^1thio, Het^2thio, Het^1alkylthio, Het^2alkylthio, Het^1oxy and Het^2oxy, OR^8, SR^8, $SO_2NR^8R^9$, $SO_2N(OH)R^8$, CN, $CR^8{=}NR^9$, $S(O)R^8$, SO_2R^8, $CR^8{=}N(OR^9)$, N_3, NO_2, NR^8R^9, $N(OH)R^8$, $C(O)R^8$, $C(S)R^8$, CO_2R^8, $C(O)SR^8$, $C(O)NR^8R^9$, $C(S)NR^8R^9$, $C(O)N(OH)R^9$, $C(S)N(OH)R^8$, $NR^8C(O)R^9$, $NR^8C(S)R^9$, $N(OH)C(O)R^9$, $N(OH)C(S)R^8$, $NR^8CO_2R^9$, $NR^8C(O)NR^9R^{10}$, and $NR^8C(S)NR^9R^{10}$, $N(OH)CO_2R^8$, $NR^8C(O)SR^9$, $N(OH)C(O)NR^8R^9$, $N(OH)C(S)NR^8R^9$, $NR^8C(O)N(OH)R^9$, $NR^8C(S)N(OH)R^9$, $NR^8SO_2R^9$, $NHSO_2NR^8R^9$, $NR^8SO_2NHR^9$, $P(O)(OR^8)(OR^9)$,

with t being an integer between 1 and 2, and R^8 R^9 and R^{10} being each independently selected from the group comprising hydrogen, hydroxyl, alkyl, aryl, Het^1, Het^1alkyl, Het^1aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;

wherein R^4 is selected from the group comprising oxo, hydroxyl, alkyl, alkenyl, alkynyl, alkanediyl, alkyloxy, alklylthio, alkylamino, alkyloxyalkyl, arylcarbonylalkyl, alkylcarbonylalkyl, alkanoyl, cycloalkylcarbonylalkyl,

AMENDED SHEET (ARTICLE 19)

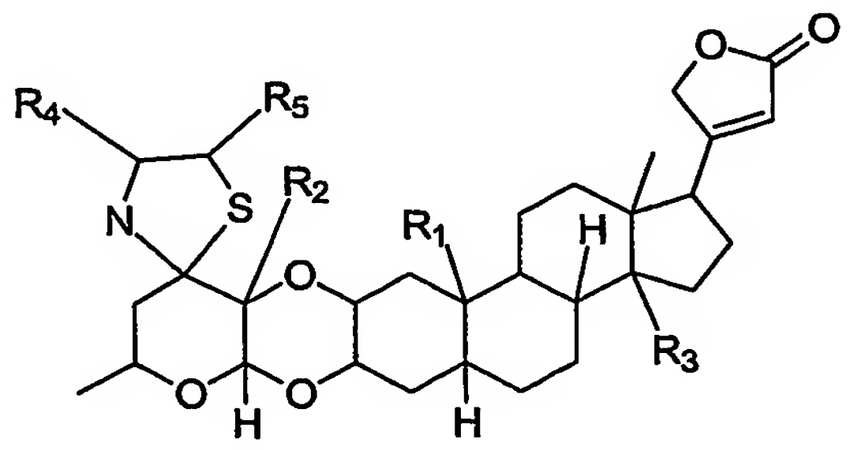
cycloalkyl, cycloalkyloxy, cycloalkylthio, cycloalkylamino, cycloalkylalkyl, cycloalkylalkanoyl, aryl, aralkyl, arylalkenyl, arylcarbonyloxy, aryloxycarbonyloxy, aralkoxycarbonyloxy, aryloxyalkyl, haloalkyloxy, haloalkylthio, haloalkylamino , hydroxyalkyl, aralkanoyl, aryloxycarbonylalkyl, aryloxyalkanoyl, Het^1, Het^1alkyl, Het^1oxy, Het^1oxyalkyl, Het^1aryl, Het^1aralkyl, Het^1cycloalkyl, Het^1aryloxyalkyl, Het^1aroyl, Het^2, Het^2oxy, Het^2alkyl; Het^2oxyalkyl, Het^2aralkyl, Het^2cycloalkyl, Het^2aryl, Het^2alkanoyl, Het^2aralkanoyl, Het^2aroyl, Het^2aryloxyalkyl, aminocarbonyl, aminoalkanoyl, aminoalkyl, optionally substituted by one or more substituents independently selected from the group comprising alkyl, aralkyl, aryl, Het^1, Het^2, cycloalkyl, alkyloxycarbonyl, carboxyl, aminocarbonyl, mono- or di(alkyl)aminocarbonyl, aminosulfonyl, $alkylS(=O)_t$, hydroxy, cyano, halogen or amino optionally mono- or disubstituted wherein the substituents are independently selected from the group comprising alkyl, aryl, aralkyl, aryloxy, arylamino, arylthio, aryloxyalkyl, arylaminoalkyl, aralkoxy, alkylthio, alkoxy, aryloxyalkoxy, aylaminoalkoxy, aralkylamino, aryloxyalkylamino, arylaminoalkylamino, arylthioalkoxy, arylthioalkylamino, aralkylthio, aryloxyalkylthio, arylaminoalkylthio, arylthioalkylthio, alkylamino, cycloalkyl, cycloalkylalkyl, Het^1, Het^2, Het^1alkyl, Het^2alkyl, Het^1amino, Het^2amino, Het^1alkylamino, Het^2alkylamino, Het^1thio, Het^2thio, Het^1alkylthio, Het^2alkylthio, Het^1oxy and Het^2oxy, OR^{11}, SR^{11}, $SO_2NR^{11}R^{12}$, $SO_2N(OH)R^{11}$, CN, $CR^{11}=NR^{12}$, $S(O)R^{11}$, SO_2R^{11}, $CR^{11}=N(OR^{12})$, N_3, NO_2, $NR^{11}R^{12}$, $N(OH)R^{11}$, $C(O)R^{11}$, $C(S)R^{11}$, CO_2R^{11}, $C(O)SR^{11}$, $C(O)NR^{11}R^{12}$, $C(S)NR^{11}R^{12}$, $C(O)N(OH)R^{12}$, $C(S)N(OH)R^{11}$, $NR^{11}C(O)R^{12}$, $NR^{11}C(S)R^{12}$, $N(OH)C(O)R^{12}$, $N(OH)C(S)R^{11}$, $NR^{11}CO_2R^{12}$, $NR^{11}C(O)NR^{12}R^{13}$, and $NR^{11}C(S)NR^{12}R^{13}$, $N(OH)CO_2R^{11}$, $NR^{11}C(O)SR^{12}$, $N(OH)C(O)NR^{11}R^{12}$, $N(OH)C(S)NR^{11}R^{12}$, $NR^{11}C(O)N(OH)R^{12}$, $NR^{11}C(S)N(OH)R^{12}$, $NR^{11}SO_2R^{12}$, $NHSO_2NR^{11}R^{12}$, $NR^{11}SO_2NHR^{12}$, $P(O)(OR^{11})(OR^{12})$, wherein t is an integer between 1 and 2, R^{11}, R^{12} and R^{13} are each independently selected from the group comprising hydrogen, alkyl, alkenyl, and alkynyl; and

wherein R^5 is selected from the group comprising hydrogen, oxo, hydroxyl, alkyl, alkenyl, alkynyl, alkanediyl, alkyloxy, alkyloxyalkyl, arylcarbonylalkyl, alkylcarbonylalkyl, alkanoyl, cycloalkylcarbonylalkyl, cycloalkyl, cycloalkylalkyl, cycloalkylalkanoyl, aryl, aralkyl, arylalkenyl, arylcarbonyloxy, aryloxycarbonyloxy, aralkoxycarbonyloxy, aryloxyalkyl, haloalkyl, hydroxyalkyl, aralkanoyl, aryloxycarbonylalkyl, aryloxyalkanoyl, Het^1, Het^1alkyl, Het^1oxy, Het^1oxyalkyl, Het^1aryl, Het^1aralkyl, Het^1cycloalkyl, Het^1aryloxyalkyl, Het^1aroyl, Het^2, Het^2oxy, Het^2alkyl; Het^2oxyalkyl, Het^2aralkyl, Het^2cycloalkyl, Het^2aryl, Het^2alkanoyl, Het^2aralkanoyl, Het^2aroyl, Het^2aryloxyalkyl, aminocarbonyl, aminoalkanoyl, aminoalkyl, optionally substituted by one or more substituents independently selected from the group comprising alkyl, aralkyl,

aryl, Het^1, Het^2, cycloalkyl, alkyloxycarbonyl, carboxyl, aminocarbonyl, mono- or di(alkyl)aminocarbonyl, aminosulfonyl, alkyl$S(=O)_t$, hydroxy, cyano, halogen or amino optionally mono- or disubstituted wherein the substituents are independently selected from the group comprising alkyl, aryl, aralkyl, aryloxy, arylamino, arylthio, aryloxyalkyl, arylaminoalkyl, aralkoxy, alkylthio, alkoxy, aryloxyalkoxy, aylaminoalkoxy, aralkylamino, aryloxyalkylamino, arylaminoalkylamino, arylthioalkoxy, arylthioalkylamino, aralkylthio, aryloxyalkylthio, arylaminoalkylthio, arylthioalkylthio, alkylamino, cycloalkyl, cycloalkylalkyl, Het^1, Het^2, Het^1alkyl, Het^2alkyl, Het^1amino, Het^2amino, Het^1alkylamino, Het^2alkylamino, Het^1thio, Het^2thio, Het^1alkylthio, Het^2alkylthio, Het^1oxy and Het^2oxy, OR^{11}, SR^{11}, $SO_2NR^{11}R^{12}$, $SO_2N(OH)R^{11}$, CN, $CR^{11}=NR^{12}$, $S(O)R^{11}$, SO_2R^{11}, $CR^{11}=N(OR^{12})$, N_3, NO_2, $NR^{11}R^{12}$, $N(OH)R^{11}$, $C(O)R^{11}$, $C(S)R^{11}$, CO_2R^{11}, $C(O)SR^{11}$, $C(O)NR^{11}R^{12}$, $C(S)NR^{11}R^{12}$, $C(O)N(OH)R^{12}$, $C(S)N(OH)R^{11}$, $NR^{11}C(O)R^{12}$, $NR^{11}C(S)R^{12}$, $N(OH)C(O)R^{12}$, $N(OH)C(S)R^{11}$, $NR^{11}CO_2R^{12}$, $NR^{11}C(O)NR^{12}R^{13}$, and $NR^{11}C(S)NR^{12}R^{13}$, $N(OH)CO_2R^{11}$, $NR^{11}C(O)SR^{12}$, $N(OH)C(O)NR^{11}R^{12}$, $N(OH)C(S)NR^{11}R^{12}$, $NR^{11}C(O)N(OH)R^{12}$, $NR^{11}C(S)N(OH)R^{12}$, $NR^{11}SO_2R^{12}$, $NHSO_2NR^{11}R^{12}$, $NR^{11}SO_2NHR^{12}$, $P(O)(OR^{11})(OR^{12})$, wherein t is an integer between 1 and 2, R^{11}, R^{12} and R^{13} are each independently selected from the group comprising hydrogen, alkyl, alkenyl, and alkynyl.

2. A compound according to claim 1, having the formula I or a pharmaceutically acceptable salt thereof,

formula I



wherein R^1 is selected from the group comprising alkyl, alkenyl, alkynyl, alkyloxy, alkyloxyalkyl, alkylthioalkyl, alkyloxycarbonyl, alkylthiocarbonyl, alkanoyl, cycloalkylalkyl, cycloalkylcarbonyl, cycloalkylalkanoyl, cycloalkylthiocarbonyl, cycloalkylalkoxycarbonyl, cycloalkylalkoxythiocarbonyl, cycloalkylthioalkyl, alkylcarbonyloxyalkyl, arylcarbonyloxyalkyl, cycloalkylcarbonyloxyalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylcarbonyl, aryloxycarbonyl, arylthiocarbonyl, aralkoxycarbonyl, arylalkylthiocarbonyl, aryloxyalkyl, arylthioalkyl, haloalkyl,

hydroxyalkyl, aralkanoyl, aroyl, aryloxycarbonylalkyl, aryloxyalkanoyl, carboxyl, formyl, alkenylcarbonyl, alkynylcarbonyl, Het^1, Het^1alkyl, Het^1oxyalkyl, Het^1aryl, Het^1aralkyl, Het^1cycloalkyl, Het^1carbonyl, Het^1alkoxycarbonyl, Het^1alkylthiocarbonyl, Het^1oxycarbonyl, Het^1thiocarbonyl, Het^1alkanoyl, Het^1aralkanoyl, Het^1aryloxyalkyl, Het^1alkyloxyalkyl, Het^1arylthioalkyl, Het^1aryloxycarbonyl, Het^1aralkoxycarbonyl, Het^1aroyl, Het^1oxyalkylcarbonyl, Het^1alkyloxyalkylcarbonyl, Het^1aryloxyalkylcarbonyl, Het^1carbonyloxyalkyl, Het^1alkylcarbonyloxyalkyl, Het^1aralkylcarbonyloxyalkyl, Het^2alkyl; Het^2oxyalkyl, Het^2alkyloxyalkyl, Het^2aralkyl, Het^2carbonyl, Het^2oxycarbonyl, Het^2thiocarbonyl, Het^2alkanoyl, Het^2alkylthiocarbonyl, Het^2alkoxycarbonyl, Het^2aralkanoyl, Het^2aralkoxycarbonyl, Het^2aryloxycarbonyl, Het^2aroyl, Het^2aryloxyalkyl, Het^2arylthioalkyl, Het^2oxyalkylcarbonyl, Het^2alkyloxyalkylcarbonyl, Het^2aryloxyalkylcarbonyl, Het^2carbonyloxyalkyl, Het^2alkylcarbonyloxyalkyl, Het^2aralkylcarbonyloxyalkyl, cyano, aminocarbonyl, aminoalkanoyl, aminoalkyl, $CR^6=NR^7$ or $CR^6=N(OR^7)$, with R^6 and R^7 being independently selected from the group comprising hydrogen, hydroxyl, alkyl, aryl, Het^1, Het^1alkyl, Het^1aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;

wherein R^2 and R^3 are independently selected from the group comprising hydroxyl, alkyloxy, alkylsilyloxy, arylsilyloxy, alkyloxyalkyloxy, cycloalkyloxy cycloalkylalkyloxy, aralkyloxy, aryloxyalkyloxy, silyloxy, alkylcarbonyloxy, arylcarbonyloxy, cycloalkylcarbonyloxy, haloalkyloxy, hydroxyalkyloxy, aralkanoyloxy, aroyloxy, aryloxycarbonylalkyloxy, formyloxy, Het^1alkyloxy, Het^1oxy, Het^1oxyalkyloxy, Het^1aryloxy, Het^1aralkyloxy, Het^1cycloalkyloxy, Het^1carbonyloxy, Het^1oxycarbonyloxy, Het^1alkanoyloxy, Het^1aralkanoyloxy, Het^1aryloxyalkyloxy, Het^1aroyl, Het^2oxy, Het^2alkyloxy; Het^2oxyalkyloxy, Het^2aralkyloxy, Het^2cycloalkyloxy, Het^2alkanoyloxy, Het^2aralkanoyloxy, Het^2carbonyloxyl, Het^2aryloxy, Het^2aryloxyalkyloxy,

wherein R^1 R^2 and R^3 are optionally substituted by one or more substituents independently selected from the group comprising alkyl, aralkyl, aryl, Het^1, Het^2, cycloalkyl, alkyloxycarbonyl, carboxyl, aminocarbonyl, mono- or di(alkyl)aminocarbonyl, aminosulfonyl, $alkylS(=O)_t$, hydroxy, cyano, halogen or amino optionally mono- or disubstituted wherein the substituents are independently selected from the group comprising alkyl, aryl, aralkyl, aryloxy, arylamino, arylthio, aryloxyalkyl, arylaminoalkyl, aralkoxy, alkylthio, alkoxy, aryloxyalkoxy, arylaminoalkoxy, aralkylamino, aryloxyalkylamino, arylaminoalkylamino, arylthioalkoxy, arylthioalkylamino, aralkylthio, aryloxyalkylthio, arylaminoalkylthio, arylthioalkylthio,

alkylamino, cycloalkyl, cycloalkylalkyl, Het^1, Het^2, Het^1alkyl, Het^2alkyl, Het^1amino, Het^2amino, Het^1alkylamino, Het^2alkylamino, Het^1thio, Het^2thio, Het^1alkylthio, Het^2alkylthio, Het^1oxy and Het^2oxy, OR^8, SR^8, $SO_2NR^8R^9$, $SO_2N(OH)R^8$, CN, $CR^8=NR^9$, $S(O)R^8$, SO_2R^8, $CR^8=N(OR^9)$, N_3, NO_2, NR^8R^9, $N(OH)R^8$, $C(O)R^8$, $C(S)R^8$, CO_2R^8, $C(O)SR^8$, $C(O)NR^8R^9$, $C(S)NR^8R^9$, $C(O)N(OH)R^9$, $C(S)N(OH)R^8$, $NR^8C(O)R^9$, $NR^8C(S)R^9$, $N(OH)C(O)R^9$, $N(OH)C(S)R^8$, $NR^8CO_2R^9$, $NR^8C(O)NR^9R^{10}$, and $NR^8C(S)NR^9R^{10}$, $N(OH)CO_2R^8$, $NR^8C(O)SR^9$, $N(OH)C(O)NR^8R^9$, $N(OH)C(S)NR^8R^9$, $NR^8C(O)N(OH)R^9$, $NR^8C(S)N(OH)R^9$, $NR^8SO_2R^9$, $NHSO_2NR^8R^9$, $NR^8SO_2NHR^9$, $P(O)(OR^8)(OR^9)$,

with t being an integer between 1 and 2, and R^8 R^9 and R^{10} being each independently selected from the group comprising hydrogen, hydroxyl, alkyl, aryl, Het^1, Het^1alkyl, Het^1aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;

wherein R^4 is oxo and R^5 is hydrogen or alkyl.

3. A compound according to claim 1,

wherein R^1 is selected from the group comprising hydrogen, alkyl, hydroxyalkyl, alkenyl, alkynyl, alkyloxyalkyl, alkylthioalkyl, alkyloxycarbonyl, alkanoyl, cycloalkylalkyl, cycloalkylcarbonyl, cycloalkylalkanoyl, cycloalkylalkoxycarbonyl, cycloalkylthioalkyl, alkylcarbonyloxyalkyl, arylcarbonyloxyalkyl, cycloalkylcarbonyloxyalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylcarbonyl, aryloxycarbonyl, aralkoxycarbonyl, arylthioalkyl, aralkanoyl, aroyl, carboxyl, formyl, alkenylcarbonyl, alkynylcarbonyl, Het^1oxyalkyl, Het^1alkoxycarbonyl, Het^1oxycarbonyl, Het^1aryloxyalkyl, Het^1alkyloxyalkyl, Het^1arylthioalkyl, Het^1aryloxycarbonyl, Het^1aralkoxycarbonyl, Het^1oxyalkylcarbonyl, Het^1alkyloxyalkylcarbonyl, Het^1aryloxyalkylcarbonyl, Het^1carbonyloxyalkyl, Het^1alkylcarbonyloxyalkyl, Het^1aralkylcarbonyloxyalkyl, Het^2oxyalkyl, Het^2alkyloxyalkyl, Het^2oxycarbonyl, Het^2alkoxycarbonyl, Het^2aralkoxycarbonyl, Het^2aryloxycarbonyl, Het^2aryloxyalkyl, Het^2arylthioalkyl, Het^2oxyalkylcarbonyl, Het^2alkyloxyalkylcarbonyl, Het^2aryloxyalkylcarbonyl, Het^2carbonyloxyalkyl, Het^2alkylcarbonyloxyalkyl, Het^2aralkylcarbonyloxyalkyl, $CR^6=NR^7$, $CR^6=N(OR^7)$,

with R^6 and R^7 being independently selected from the group comprising hydrogen, hydroxyl, alkyl, aryl, Het^1, Het^1alkyl, Het^1aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;

wherein R^2 and R^3 are independently selected from the group comprising hydroxyl, alkyloxy, alkyloxyalkyloxy, cycloalkyloxy cycloalkylalkyloxy, aralkyloxy, aryloxyalkyloxy, silyloxy, alkylcarbonyloxy, arylcarbonyloxy, cycloalkylcarbonyloxy, aryloxycarbonylalkyloxy, formyloxy, Het^1alkyloxy, Het^1oxy, Het^1oxyalkyloxy, Het^1aryloxy, Het^1aralkyloxy, Het^1cycloalkyloxy, Het^1carbonyloxy, Het^1alkanoyloxy, Het^1aralkanoyloxy, Het^1aryloxyalkyloxy, Het^2oxy, Het^2alkyloxy; Het^2oxyalkyloxy, Het^2aralkyloxy, Het^2cycloalkyloxy, Het^2alkanoyloxy, Het^2aralkanoyloxy, Het^2carbonyloxyl, Het^2aryloxy, Het^2aryloxyalkyloxy,

wherein R^1 R^2 and R^3 are optionally substituted by one or more substituents independently selected from the group indicated in claim 1; and

wherein R^4 is selected from the group comprising, oxo, hydroxyalkyl, alkyl, alkenyl, alkylcarbonylalkyl, arylcarbonylalkyl and R^5 is hydrogen, oxo, hydroxyl, hydroxyalkyl, alkyl, alkenyl, alkylcarbonylalkyl, arylcarbonylalkyl.

4. A compound according to claim 1 or 2,

wherein R^1 is selected from the group comprising alkyl, alkenyl, alkynyl, alkyloxyalkyl, alkylthioalkyl, alkyloxycarbonyl, alkanoyl, cycloalkylalkyl, cycloalkylcarbonyl, cycloalkylalkanoyl, cycloalkylalkoxycarbonyl, cycloalkylthioalkyl, alkylcarbonyloxyalkyl, arylcarbonyloxyalkyl, cycloalkylcarbonyloxyalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylcarbonyl, aryloxycarbonyl, aralkoxycarbonyl, arylthioalkyl, aralkanoyl, aroyl, carboxyl, formyl, alkenylcarbonyl, alkynylcarbonyl, Het^1oxyalkyl, Het^1alkoxycarbonyl, Het^1oxycarbonyl, Het^1aryloxyalkyl, Het^1alkyloxyalkyl, Het^1arylthioalkyl, Het^1aryloxycarbonyl, Het^1aralkoxycarbonyl, Het^1oxyalkylcarbonyl, Het^1alkyloxyalkylcarbonyl, Het^1aryloxyalkylcarbonyl, Het^1carbonyloxyalkyl, Het^1alkylcarbonyloxyalkyl, Het^1aralkylcarbonyloxyalkyl, Het^2oxyalkyl, Het^2alkyloxyalkyl, Het^2oxycarbonyl, Het^2alkoxycarbonyl, Het^2aralkoxycarbonyl, Het^2aryloxycarbonyl, Het^2aryloxyalkyl, Het^2arylthioalkyl, Het^2oxyalkylcarbonyl, Het^2alkyloxyalkylcarbonyl, Het^2aryloxyalkylcarbonyl, Het^2carbonyloxyalkyl, Het^2alkylcarbonyloxyalkyl, Het^2aralkylcarbonyloxyalkyl, $CR^6=NR^7$, $CR^6=N(OR^7)$,

with R^6 and R^7 being independently selected from the group comprising hydrogen, hydroxyl, alkyl, aryl, Het^1, Het^1alkyl, Het^1aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;

wherein R^2 and R^3 are independently selected from the group comprising hydroxyl, alkyloxy, alkyloxyalkyloxy, cycloalkyloxy cycloalkylalkyloxy, aralkyloxy, aryloxyalkyloxy,

silyloxy, alkylcarbonyloxy, arylcarbonyloxy, cycloalkylcarbonyloxy, aryloxycarbonylalkyloxy, formyloxy, Het^{1}alkyloxy, Het^{1}oxy, Het^{1}oxyalkyloxy, Het^{1}aryloxy, Het^{1}aralkyloxy, Het^{1}cycloalkyloxy, Het^{1}carbonyloxy, Het^{1}alkanoyloxy, Het^{1}aralkanoyloxy, Het^{1}aryloxyalkyloxy, Het^{2}oxy, Het^{2}alkyloxy; Het^{2}oxyalkyloxy, Het^{2}aralkyloxy, Het^{2}cycloalkyloxy, Het^{2}alkanoyloxy, Het^{2}aralkanoyloxy, Het^{2}carbonyloxyl, Het^{2}aryloxy, Het^{2}aryloxyalkyloxy,

wherein R^1 R^2 and R^3 are optionally substituted by one or more substituents independently selected from the group indicated in claim 1; and

wherein R^4 is oxo and R^5 is hydrogen or alkyl.

5. A compound according to claim 1, 2 or 4,

wherein R^1 is selected from the group comprising alkyl, alkenyl, alkynyl, alkyloxyalkyl, alkylthioalkyl, alkanoyl, cycloalkylalkyl, cycloalkylcarbonyl, cycloalkylalkanoyl, cycloalkylthioalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylcarbonyl, arylthioalkyl, aralkanoyl, aroyl, carboxyl, formyl, alkenylcarbonyl, alkynylcarbonyl, Het^{1}oxyalkyl, Het^{1}aryloxyalkyl, Het^{1}alkyloxyalkyl, Het^{1}arylthioalkyl, Het^{1}oxyalkylcarbonyl, Het^{1}alkyloxyalkylcarbonyl, Het^{1}aryloxyalkylcarbonyl, Het^{2}oxyalkyl, Het^{2}alkyloxyalkyl, Het^{2}aryloxyalkyl, Het^{2}arylthioalkyl, Het^{2}oxyalkylcarbonyl, Het^{2}alkyloxyalkylcarbonyl, Het^{2}aryloxyalkylcarbonyl, $CR^6{=}NR^7$, $CR^6{=}N(OR^7)$,

with R^6 and R^7 being independently selected from the group comprising hydrogen, hydroxyl, alkyl, aryl, Het^1, Het^{1}alkyl, Het^{1}aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;

wherein R^2 and R^3 are independently selected from the group comprising hydroxyl, alkylcarbonyloxy, arylcarbonyloxy, cycloalkylcarbonyloxy, formyloxy, Het^{1}carbonyloxy, Het^{1}alkanoyloxy, Het^{1}aralkanoyloxy, Het^{2}carbonyloxyl, Het^{2}alkanoyloxy, Het^{2}aralkanoyloxy,

wherein R^1 R^2 and R^3 are optionally substituted by one or more substituents independently selected from the group indicated in claim 1; and

wherein R^4 is oxo and R^5 is hydrogen or alkyl.

6. A compound according to any of claims 1, 2, 4 to 5, wherein R^1 is selected from the group comprising alkyl, alkenyl, alkynyl, alkyloxyalkyl, alkylthioalkyl, cycloalkylalkyl, cycloalkylthioalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylthioalkyl, carboxyl, formyl, Het^{1}oxyalkyl, Het^{1}aryloxyalkyl, Het^{1}alkyloxyalkyl, Het^{1}arylthioalkyl, Het^{2}oxyalkyl, Het^{2}alkyloxyalkyl, Het^{2}aryloxyalkyl, Het^{2}arylthioalkyl, optionally substituted by one or more

substituents independently selected from the group indicated in claim 1; wherein R^2 and R^3 are hydroxyl and wherein R^4 is oxo and R^5 is hydrogen.

7. A compound according to any of claims 1, 2, 4 to 6, wherein R^1 is selected from the group comprising alkyl, alkenyl, alkynyl, alkyloxyalkyl, cycloalkylalkyl, silyloxyalkyl, aralkyl, arylalkenyl, carboxyl, formyl, Het^1oxyalkyl, Het^1aryloxyalkyl, Het^1alkyloxyalkyl, Het^2oxyalkyl, Het^2alkyloxyalkyl, Het^2aryloxyalkyl, optionally substituted by one or more substituents independently selected from the group indicated in claim 1; wherein R^2 and R^3 are hydroxyl, R^4 is oxo and R^5 is hydrogen.

8. A compound according to any of claims 1, 2, 4 to 7, wherein R^1 is selected from the group comprising alkyl, carboxyl, formyl; wherein R^2 and R^3 are hydroxyl, and wherein R^4 is oxo and R^5 is hydrogen.

9. A compound according to claim 8, wherein R^1 is formyl, R^2 and R^3 are hydroxyl R^4 is oxo and R^5 is hydrogen.

10. A compound according to claim 1 or 3,

wherein R^1 is selected from the group comprising hydrogen, alkyl, alkenyl, alkynyl, alkyloxyalkyl, hydroxyalkyl, alkylthioalkyl, alkanoyl, cycloalkylalkyl, cycloalkylcarbonyl, cycloalkylalkanoyl, cycloalkylthioalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylcarbonyl, arylthioalkyl, aralkanoyl, aroyl, carboxyl, formyl, alkenylcarbonyl; alkynylcarbonyl, Het^1oxyalkyl, Het^1aryloxyalkyl, Het^1alkyloxyalkyl, Het^1arylthioalkyl, Het^1oxyalkylcarbonyl, Het^1alkyloxyalkylcarbonyl, Het^1aryloxyalkylcarbonyl, Het^2oxyalkyl, Het^2alkyloxyalkyl, Het^2aryloxyalkyl, Het^2arylthioalkyl, Het^2oxyalkylcarbonyl, Het^2alkyloxyalkylcarbonyl, Het^2aryloxyalkylcarbonyl, $CR^6{=}NR^7$, $CR^6{=}N(OR^7)$,

with R^6 and R^7 being independently selected from the group comprising hydrogen, hydroxyl, alkyl, aryl, Het^1, Het^1alkyl, Het^1aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;

wherein R^2 and R^3 are independently selected from the group comprising hydroxyl, alkylcarbonyloxy, arylcarbonyloxy, cycloalkylcarbonyloxy, formyloxy, Het^1carbonyloxy, Het^1alkanoyloxy, Het^1aralkanoyloxy, Het^2carbonyloxyl, Het^2alkanoyloxy, Het^2aralkanoyloxy,

wherein R^1 R^2 and R^3 are optionally substituted by one or more substituents independently selected from the group indicated in claim 1; and

AMENDED SHEET (ARTICLE 19)

wherein R^4 is oxo, hydroxyalkyl, alkyl, alkenyl, arylcarbonylaryl, alkylcarbonylalkyl and R^5 is hydrogen or alkyl.

11. A compound according to any of claims 1, 3 or 10, wherein R^1 is hydroxyalkyl, R^2 and R^3 are hydroxyl, R^4 is oxo and R^5 is hydrogen.

12. A compound according to any of claims 1, 3 or 10, wherein R^1 is selected from the group comprising hydrogen, alkyl, alkenyl, alkynyl, hydroxyalkyl, alkyloxyalkyl, alkylthioalkyl, cycloalkylalkyl, cycloalkylthioalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylthioalkyl, carboxyl, formyl, Het^1oxyalkyl, Het^1aryloxyalkyl, Het^1alkyloxyalkyl, Het^1arylthioalkyl, Het^2oxyalkyl, Het^2alkyloxyalkyl, Het^2aryloxyalkyl, Het^2arylthioalkyl, optionally substituted by one or more substituents independently selected from the group indicated in claim 1; wherein R^2 and R^3 are hydroxyl and wherein R^4 is hydroxyalkyl, arylcarbonylalkyl, alkylcarbonylalkyl and R^5 is hydrogen.

13. A compound according to any of claims 1, 3, 10 or 12, wherein R^1 is selected from the group comprising hydrogen, alkyl, alkenyl, alkynyl, hydroxyalkyl, alkyloxyalkyl, cycloalkylalkyl, silyloxyalkyl, aralkyl, arylalkenyl, carboxyl, formyl, Het^1oxyalkyl, Het^1aryloxyalkyl, Het^1alkyloxyalkyl, Het^2oxyalkyl, Het^2alkyloxyalkyl, Het^2aryloxyalkyl, optionally substituted by one or more substituents independently selected from the group indicated in claim 1; wherein R^2 and R^3 are hydroxyl, R^4 is hydroxyalkyl, arylcarbonylalkyl, alkylcarbonylalkyl and R^5 is hydrogen.

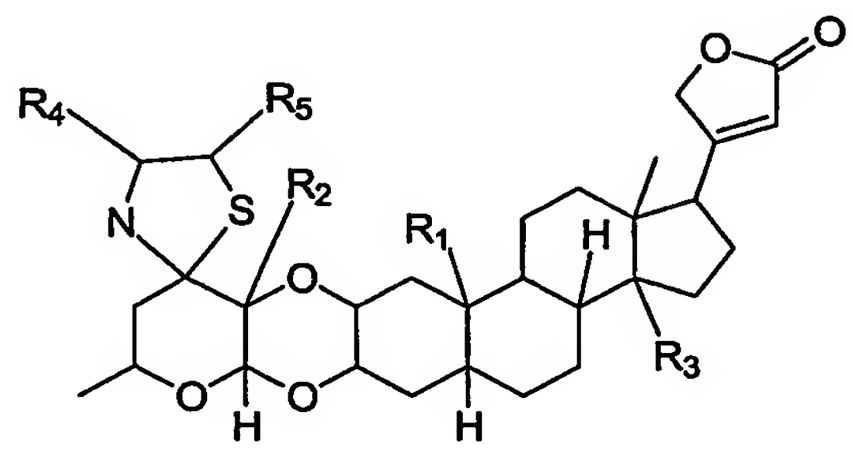
14. A compound according to any of claims 1, 3, 10, 12 or 13, wherein R^1 is selected from the group comprising alkyl, hydroxyalkyl, carboxyl, formyl; wherein R^2 and R^3 are hydroxyl, and wherein R^4 is arylcarbonylalkyl and R^5 is hydrogen.

15. A compound according to claim 14, wherein R^1 is hydroxyalkyl, R^2 and R^3 are hydroxyl, R^4 is arylcarbonylalkyl and R^5 is hydrogen.

16. A compound according to claim 15, wherein R^1 is hydroxymethylene, R^2 and R^3 are hydroxyl, R^4 is phenylcarbonylmethylene and R^5 is hydrogen.

17. A compound according to claim 1 having the formula I or a pharmaceutically acceptable salt or ester thereof,

formula I



wherein R^1 is selected from the group comprising alkyl, alkenyl, alkynyl, alkyloxyalkyl, alkylthioalkyl, alkyloxycarbonyl, alkanoyl, cycloalkylalkyl, cycloalkylcarbonyl, cycloalkylalkanoyl, cycloalkylalkoxycarbonyl, cycloalkylthioalkyl, alkylcarbonyloxyalkyl, arylcarbonyloxyalkyl, cycloalkylcarbonyloxyalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylcarbonyl, aryloxycarbonyl, aralkoxycarbonyl, arylthioalkyl, aralkanoyl, aroyl, silyloxyalkyl, carboxyl, alkenylcarbonyl, alkynylcarbonyl, Het^1oxyalkyl, Het^1alkoxycarbonyl, Het^1oxycarbonyl, Het^1aryloxyalkyl, Het^1alkyloxyalkyl, Het^1arylthioalkyl, Het^1aryloxycarbonyl, Het^1aralkoxycarbonyl, Het^1oxyalkylcarbonyl, Het^1alkyloxyalkylcarbonyl, Het^1aryloxyalkylcarbonyl, Het^1carbonyloxyalkyl, Het^1alkylcarbonyloxyalkyl, Het^1aralkylcarbonyloxyalkyl, Het^2oxyalkyl, Het^2alkyloxyalkyl, Het^2oxycarbonyl, Het^2alkoxycarbonyl, Het^2aralkoxycarbonyl, Het^2aryloxycarbonyl, Het^2aryloxyalkyl, Het^2arylthioalkyl, Het^2oxyalkylcarbonyl, Het^2alkyloxyalkylcarbonyl, Het^2aryloxyalkylcarbonyl, Het^2carbonyloxyalkyl, Het^2alkylcarbonyloxyalkyl, Het^2aralkylcarbonyloxyalkyl,$CR^6=NR^7$, $CR^6=N(OR^7)$,

with R^6 and R^7 being independently selected from the group comprising hydrogen, hydroxyl, alkyl, aryl, Het^1, Het^1alkyl, Het^1aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;

wherein R^2 and R^3 have the same definition as in claim 1;

wherein R^1 R^2 and R^3 are optionally substituted by one or more substituents independently selected from the group as indicated in claim 1, and

wherein R^4 and R^5 are hydrogen or alkyl.

18. A compound according to claim 17,

wherein R^1 is selected from the group comprising alkyl, alkenyl, alkynyl, alkyloxyalkyl, alkylthioalkyl, alkanoyl, cycloalkylalkyl, cycloalkylcarbonyl, cycloalkylalkanoyl, cycloalkylthioalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylcarbonyl, arylthioalkyl, aralkanoyl, aroyl, silyloxyalkyl, carboxyl, alkenylcarbonyl, alkynylcarbonyl, Het^1oxyalkyl, Het^1aryloxyalkyl, Het^1alkyloxyalkyl, Het^1arylthioalkyl, Het^1oxyalkylcarbonyl, Het^1alkyloxyalkylcarbonyl, Het^1aryloxyalkylcarbonyl, Het^2oxyalkyl, Het^2alkyloxyalkyl, Het^2aryloxyalkyl, Het^2arylthioalkyl, Het^2oxyalkylcarbonyl, Het^2alkyloxyalkylcarbonyl, Het^2aryloxyalkylcarbonyl, $CR^6=NR^7$, $CR^6=N(OR^7)$, with R^6 and R^7 being independently selected from the group comprising hydrogen, hydroxyl, alkyl, aryl, Het^1, Het^1alkyl, Het^1aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;

wherein R^2 and R^3 have the same definition as in claim 1;

wherein R^1 R^2 and R^3 are optionally substituted by one or more substituents independently selected from the group as indicated in claims 1, and

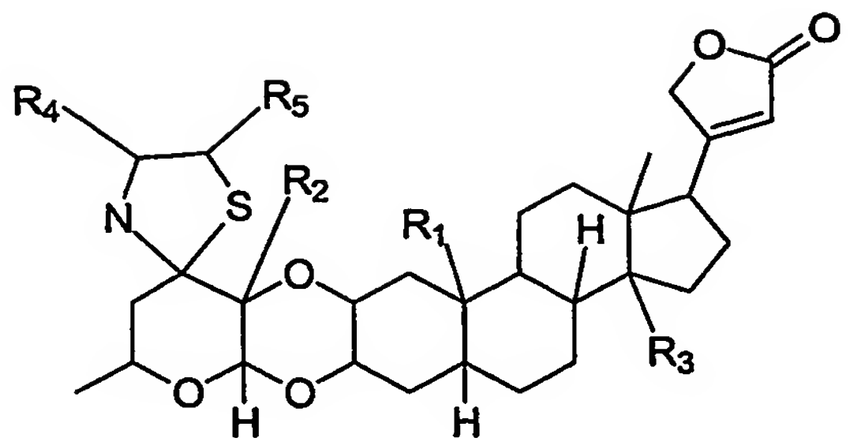
wherein R^4 and R^5 are hydrogen or alkyl.

19. A compound according to claim 17 or 18, wherein R^1 is selected from the group comprising alkyl, alkenyl, alkynyl, alkyloxyalkyl, alkylthioalkyl, cycloalkylalkyl, cycloalkylthioalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylthioalkyl, silyloxyalkyl, carboxyl, Het^1oxyalkyl, Het^1aryloxyalkyl, Het^1alkyloxyalkyl, Het^1arylthioalkyl, Het^2oxyalkyl, Het^2alkyloxyalkyl, Het^2aryloxyalkyl, Het^2arylthioalkyl, optionally substituted by one or more substituents independently selected from the group indicated in claim 1; wherein R^2 and R^3 are hydroxyl and wherein R^4 and R^5 are hydrogen or alkyl.

20. A compound according to any of claims 17 to 19, wherein R^1 is selected from the group comprising alkyl, alkenyl, alkynyl, alkyloxyalkyl, cycloalkylalkyl, silyloxyalkyl, aralkyl, arylalkenyl, carboxyl, Het^1oxyalkyl, Het^1aryloxyalkyl, Het^1alkyloxyalkyl, Het^2oxyalkyl, Het^2alkyloxyalkyl, Het^2aryloxyalkyl, optionally substituted by one or more substituents independently selected from the group indicated in claim 1; wherein R^2 and R^3 are hydroxyl and wherein R^4 and R^5 are hydrogen.

21. A compound according to claim 1, having the formula I or a pharmaceutically acceptable salt or ester thereof,

formula I



wherein R^1 is selected from the group comprising hydroxyalkyl, alkenyl, alkynyl, alkyloxyalkyl, alkylthioalkyl, alkyloxycarbonyl, alkanoyl, cycloalkylalkyl, cycloalkylcarbonyl, cycloalkylalkanoyl, cycloalkylalkoxycarbonyl, cycloalkylthioalkyl, alkylcarbonyloxyalkyl, arylcarbonyloxyalkyl, cycloalkylcarbonyloxyalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylcarbonyl, aryloxycarbonyl, aralkoxycarbonyl, arylthioalkyl, aralkanoyl, aroyl, silyloxyalkyl, carboxyl, alkenylcarbonyl, alkynylcarbonyl, Het^1oxyalkyl, Het^1alkoxycarbonyl, Het^1oxycarbonyl, Het^1aryloxyalkyl, Het^1alkyloxyalkyl, Het^1arylthioalkyl, Het^1aryloxycarbonyl, Het^1aralkoxycarbonyl, Het^1oxyalkylcarbonyl, Het^1alkyloxyalkylcarbonyl, Het^1aryloxyalkylcarbonyl, Het^1carbonyloxyalkyl, Het^1alkylcarbonyloxyalkyl, Het^1aralkylcarbonyloxyalkyl, Het^2oxyalkyl, Het^2alkyloxyalkyl, Het^2oxycarbonyl, Het^2alkoxycarbonyl, Het^2aralkoxycarbonyl, Het^2aryloxycarbonyl, Het^2aryloxyalkyl, Het^2arylthioalkyl, Het^2oxyalkylcarbonyl, Het^2alkyloxyalkylcarbonyl, Het^2aryloxyalkylcarbonyl, Het^2carbonyloxyalkyl, Het^2alkylcarbonyloxyalkyl, Het^2aralkylcarbonyloxyalkyl,$CR^6=NR^7$, $CR^6=N(OR^7)$,

with R^6 and R^7 being independently selected from the group comprising hydrogen, hydroxyl, alkyl, aryl, Het^1, Het^1alkyl, Het^1aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;

wherein R^1 is optionally substituted by one or more substituents independently selected from the group as indicated in claim 1, and

wherein R^2 and R^3 are hydroxyl and wherein R^4 is replaced by a double bond between the N atom and the C carbon atom of the N-containing heterocyclic ring of formula I; and wherein R^5 is hydrogen.

22. A compound according to claim 21, wherein R^1 is selected from the group comprising alkenyl, alkynyl, alkyloxyalkyl, cycloalkylalkyl, silyloxyalkyl, aralkyl, arylalkenyl, carboxyl,

Het[1]oxyalkyl, Het[1]aryloxyalkyl, Het[1]alkyloxyalkyl, Het[2]oxyalkyl, Het[2]alkyloxyalkyl, Het[2]aryloxyalkyl, optionally substituted by one or more substituents independently selected from the group indicated in claim 1; wherein R^2 and R^3 are hydroxyl and wherein R^4 and R^5 are hydrogen.

23. A compound according to claim 22, wherein R^1 has the same definition as in claim 20, wherein R^2 and R^3 are hydroxyl; wherein R^4 is replaced by a double bond between the N atom and the C carbon atom of the N-containing heterocyclic ring of formula I; and wherein R^5 is hydrogen.

24. Compound of formula I or a pharmaceutically acceptable salt or ester thereof, wherein R^1, R^2, R^3, R^4 and R^5 are selected as in Table A.

25. A pharmaceutical composition comprising a pharmaceutically acceptable excipient and a therapeutically effective amount of a compound according to any of claims 1-24.

26. A pharmaceutical composition comprising a pharmaceutically acceptable excipient and a therapeutically effective amount of a compound according to claim 9.

27. A pharmaceutical composition comprising a pharmaceutically acceptable excipient and a therapeutically effective amount of a compound according to claim 11.

28. A compound according to any of claims 1 to 24 for use as a medicament.

29. Use of a compound according to any of claims 1 to 24 for the preparation of a medicament for treating cancer.

30. Use of a compound according to any of claims 1 to 24 in the treatment of cancer.

31. Method of treating cancer comprising administrating to an individual in need of such treatment a pharmaceutical composition according to any of claims 25 to 27.